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<p>(51) International Patent Classification n<sup>o</sup> : A61K 38/16, A23G 3/00, 3/30, A23L 2/66 // (A61K 38/16, 31:575)</p>	<p>A1</p>	<p>(11) International Publication Number: <b>WO 95/08342</b> (43) International Publication Date: 30 March 1995 (30.03.95)</p>
<p>(21) International Application Number: PCT/IB94/00274 (22) International Filing Date: 12 September 1994 (12.09.94) (30) Priority Data: 2840/93-5 21 September 1993 (21.09.93) CH (71) Applicant (for all designated States except US): INPHARMA S.A. [CH/CH]; Via F. Borromini, 3, CH-6900 Lugano (CH). (72) Inventor; and (75) Inventor/Applicant (for US only): FESTÒ, Norberto [CH/CH]; Via F. Borromini, 3, CH-6900 Lugano (CH). (74) Agent: MASPOLI, René, A.; Patentanwaltsbureau R.A. Maspoli, Postfach 191, Witikonstrasse 315, CH-8053 Zürich (CH).</p>		<p>(81) Designated States: JP, US, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE).  <b>Published</b> <i>With international search report.</i></p>
<p>(54) Title: DIETARY HYPOCHOLESTEROLAEMIC COMPOSITIONS COMPRISING SOYA PROTEINS AND SITOSTEROLS (57) Abstract Description of dietary compositions for use as nutritional integrators containing a mixture of soya proteins and sitosterols.</p>		

The invention regards the synergistic activity of the two hypocholesterolaemic substances which, if associated, can reduce the daily dose to be used in a hypocholesterolaemic diet by ten times.

5 The synergistic effect claimed by the invention enables administration of low quantities of hypocholesterolaemic integrators for long periods with consequent decrease of sitosterolemic risk.

The daily dose of the hypocholesterolaemic association can therefore be 1-2 g/day of the combination.

10 The dietary compositions of the invention may contain from 50 to 2000 mg of Soya proteins. The quantity of sitosterols per unit can vary from 10 to 1000 mg.

The ponderal ratio between Soya proteins and sitosterols is not crucial and will generally be between 1:1 and 10:1.

15 The compositions which are the subject of the invention may also contain active principles or integrators with coadjuvant, complementary or useful activity. Examples of these elements which can be usefully employed for the purpose are:

mineral salts  
vitamins  
digestive enzymes  
20 soluble fibres  
insoluble fibres  
amino acids  
phospholipids

25 The compositions which are the subject of the invention are prepared using traditional techniques and excipients. These compositions are prepared by mixing Soya proteins and sitosterols with excipients that are physiologically suitable and with pleasant appearance, colour and flavour. Among the excipients available for alimentary use are diluting agents, sweeteners, binding agents, flavouring agents, lubricants, anti-  
30 aggregating agents, natural and synthetic colouring agents, solubilizers, surfactants and preservatives.

35 Examples of diluting substances are: microcrystalline cellulose, lactose, glycine, rice starch, saccharose, fructose, sorbitol; examples of binding agents are: starch water, pvp, methylcellulose; examples of flavouring agents are: citric acid, tartaric acid, sodium chloride, sodium glutamate, menthol; examples of lubricants are: PEG, magnesium stearate, stearic acid, talc.

40 The examples of dietary compositions include: chewable, effervescent, swallowable and coated tablets; film coated pills, capsules, soft gelatine capsules, syrup, fruit beverages, granule sachets, fruit gelatines, sweets.

The following are hypocholesterolaemic dietary formulations:

**Coated tablets**

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<b>NUCLEUS</b>	
Soya proteins	500 mg
sitosterols	100 mg
lactose	90 mg
magnesium stearate	10 mg
<b>COATING</b>	
methylcellulose	10 mg
titanium dioxide	2 mg
PEG 6000	3 mg
talc	5 mg

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**Tablets**

Soya proteins	300 mg
sitosterols	200 mg
maize starch	30 mg
pvp	20 mg
lactose	50 mg
talc	10 mg
magnesium stearate	5 mg

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**Granules for extemporaneous suspension**

Soya proteins	800 mg
sitosterols	200 mg
saccharose	2000 mg
sodium saccharinate	20 mg
apple flavouring	50 mg

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**Capsules**

Soya proteins	100 mg
sitosterols	100 mg
magnesium stearate	10 mg
Silica precipitate	5 mg
<b>SHELL</b>	
gelatin	100 mg

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**Chewable tablets**

Soya proteins	300 mg
sitosterols	200 mg
mannitol	500 mg
pvp	20 mg
sorbitol	100 mg
aspartame	3 mg
magnesium stearate	5 mg

The dietary preparations based on Soya proteins/sitosterols can be associated with substances such as food fibres (soluble or insoluble) to produce dietary hypocholesterolaemic-bulking agents.

The following is an example of a formulation:

**HYPOCHOLESTEROLAEMIC - BULKING AGENT**

Granules for extemporaneous suspension

Soya proteins	800 mg
sitosterols	200 mg
guar gum	2000 mg
saccharose	1000 mg
sodium saccharinate	20 mg
Orange flavouring	50 mg

The hypocholesterolaemic association combined with enzymes of vegetable origin such as bromelain gives hypocholesterolaemic-digestive products.

The following is an example of a formulation:

**HYPOCHOLESTEROLAEMIC-DIGESTIVE PRODUCT**

Chewable tablets

Soya proteins	300 mg
sitosterols	200 mg
bromelain	10 mg
mannitol	500 mg
pvp	20 mg
sorbitol	100 mg
aspartame	3 mg
magnesium stearate	5 mg

## 5 CLAIMS

1. Hypocholesterolaemic compositions containing Soya proteins associated synergistically with sitosterols.
2. Compositions according to claim 1, containing from 50 mg to 2000 mg of Soya proteins and from 10 mg to 1000 mg of sitosterols per unit.
- 10 3. Compositions according to any of the previous claims containing other active principles or integrators with a coadjuvant, complementary or useful activity.
4. Compositions according to claims 2 or 3, containing also at least one substance chosen from the group comprising: mineral salts, vitamins, digestive enzymes, soluble fibres, insoluble fibres, amino acids and phospholipids.
- 15 5. Compositions according to any of the previous claims in the form of chewable, effervescent, swallowable and coated tablets, capsules, soft gelatine capsules, syrup, fruit beverages, granule sachets, fruit gelatines, sweets.

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## INTERNATIONAL SEARCH REPORT

International Application No

PCT/IB 94/00274

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 6 A61K38/16 A23G3/00 A23G3/30 A23L2/66 //(A61K38/16,  
31:575)

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 6 A61K A23G A23L

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	GB,A,2 028 341 (MERCK PATENT GMBH) 5 March 1980 see the whole document	1-5
Y	GB,A,1 427 253 (T. KANEDA) 10 March 1976 see the whole document	1-5



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

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\*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

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# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

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